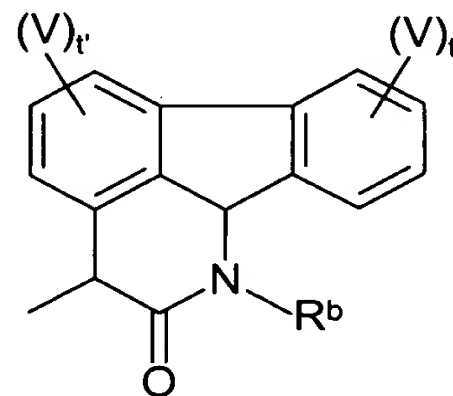
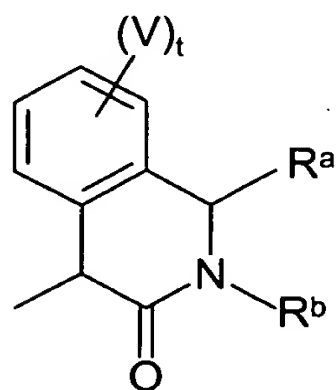
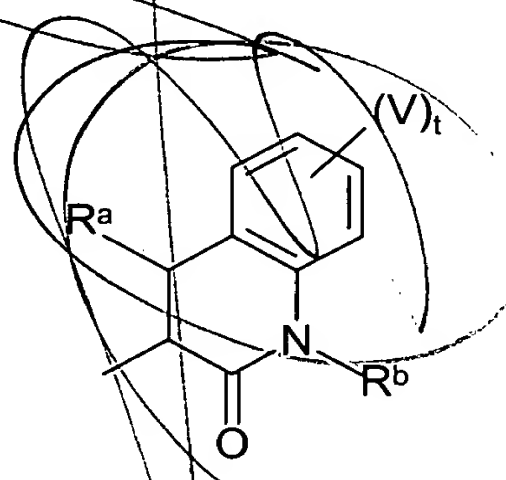
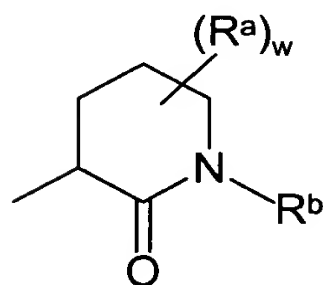
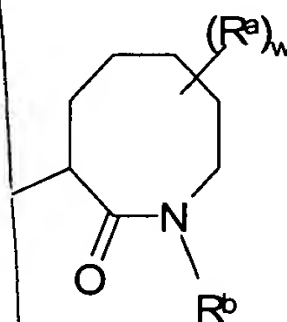
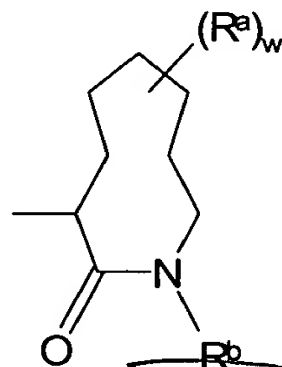
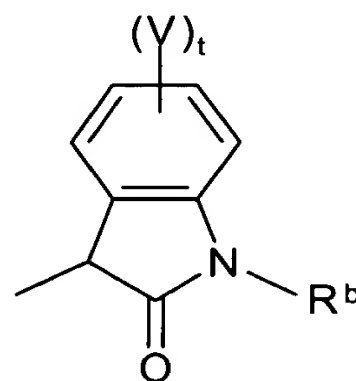
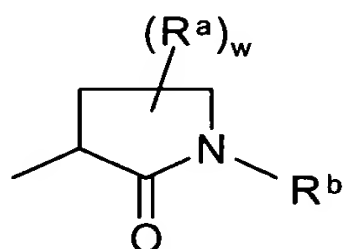
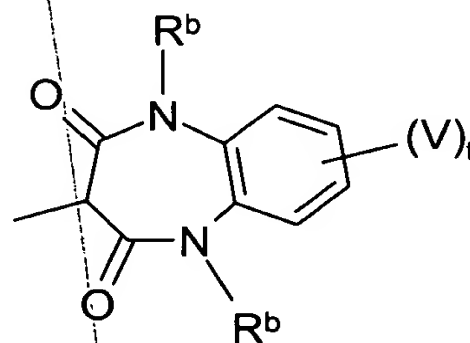
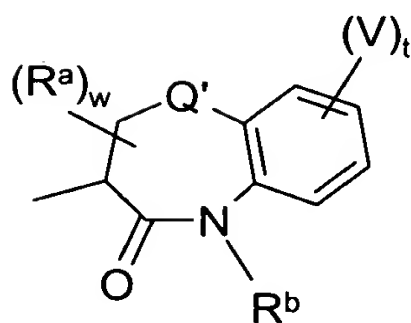
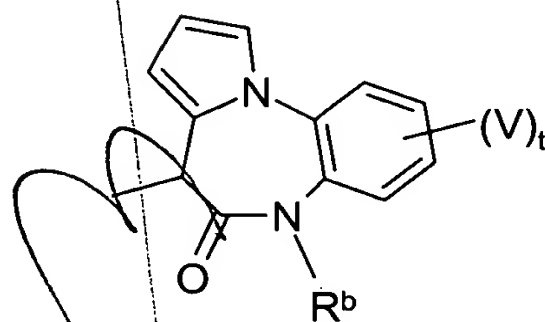
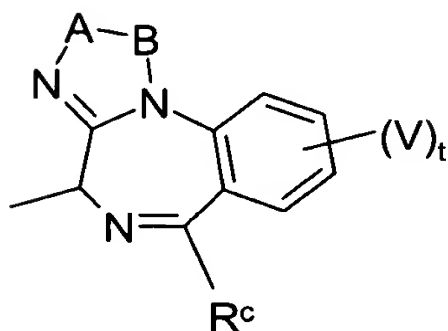
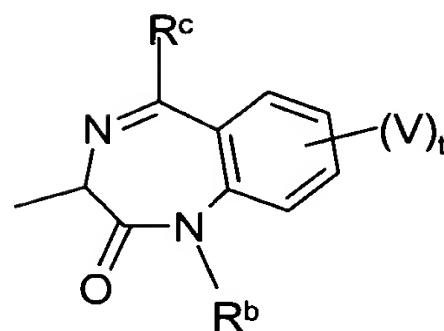
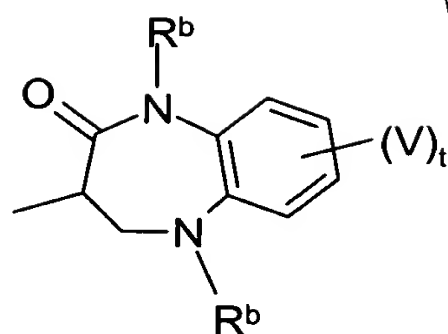


99. (Once Amended) The method according to Claim 122, 123, or 124, wherein Q is selected from the group having the formula:

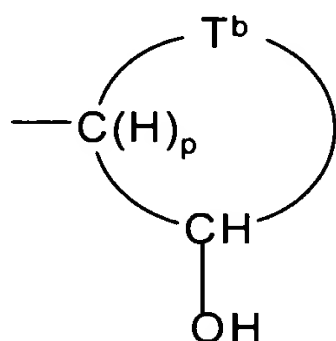




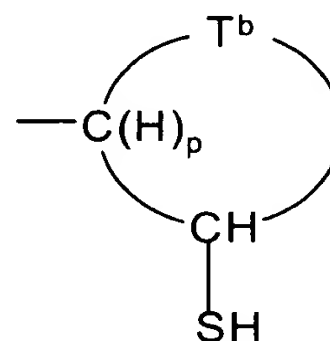
wherein A-B is selected from the group consisting of alkylene, alkenylene, substituted alkylene, substituted alkenylene and -N=CH-; Q' is oxygen or sulfur; each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, optionally substituted alkaryl, optionally substituted aryl, optionally substituted aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, optionally substituted heteroaryl, thioalkoxy, substituted thioalkoxy and trihalomethyl; R<sup>a</sup> is selected

from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano and halo;  $R^b$  is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, acyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic;  $R^c$  is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclic, cycloalkyl, and substituted cycloalkyl;  $t$  is an integer from 0 to 4;  $t'$  is an integer from 0 to 3; and  $w$  is an integer from 0 to 3.

100. (Once Amended) The method according to Claim 122, 123, or 124, wherein Q is a monocyclic or fused polycyclic ring having the formula:



or

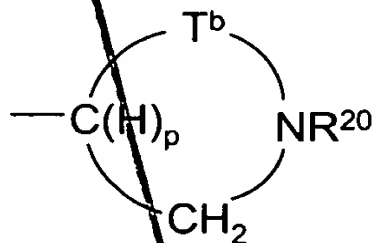


wherein  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

$T^b$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each  $R^{21}$  is

11 independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z^a$  is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

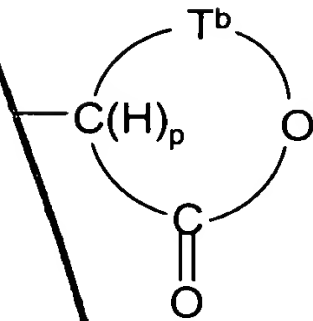
102. (Once Amended) The method according to Claim 122, 123, or 124, wherein Q is a group having the formula:



wherein  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

$T^b$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of -O-, -S- and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z^a$  is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S- and  $q$  is an integer of from 1 to 3.

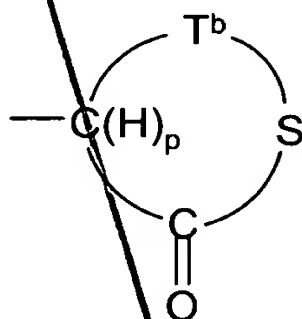
103. (Once Amended) The method according to Claim 122, 123, or 124, wherein Q is a group having the formula:



02 wherein  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

$T^b$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z^a$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

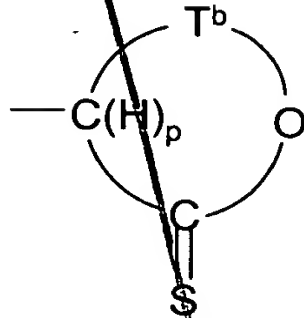
105. (Once Amended) The method according to Claim 122, 123, or 124, wherein Q is selected from the group having the formula:



wherein  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

T<sup>b</sup> is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where Z<sup>a</sup> is a substituent selected from the group consisting of -O-, -S- and  $>NR^{20}$ , each R<sup>20</sup> is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R<sup>21</sup> is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z<sup>a</sup> is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

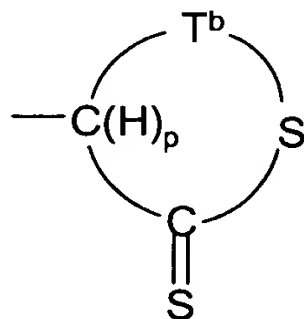
106. (Once Amended) The method according to Claim 122, 123, or 124, wherein Q has the formula:



03 wherein  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

$T^b$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z^a$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

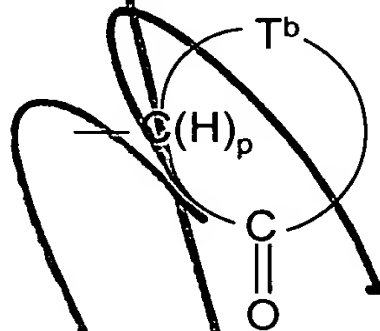
107. (Once Amended) The method according to Claim 122, 123, or 124, wherein Q has the formula:



wherein  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by  $Q$  is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

03  $T^b$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z^a$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

108. (Once Amended) The method according to Claim 122, 123, or 124, wherein  $Q$  has the formula:



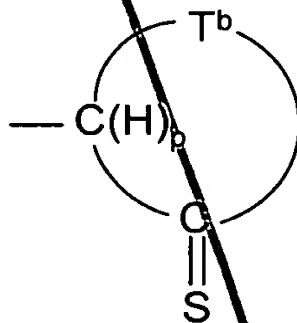
wherein  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by  $Q$  is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

$T^b$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently



03 selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z^a$  is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

04 110. (Once Amended) The method according to Claim 122, 123, or 124, wherein Q has the formula:

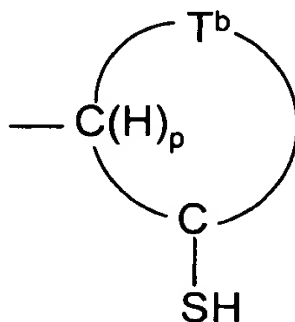


wherein  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

$T^b$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of -O-, -S- and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z^a$  is -O- or -S-, any unsaturation in the alkenylene and substituted

alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

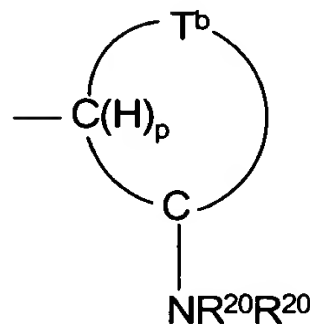
111. (Once Amended) The method according to Claim 122, 123, or 124, wherein Q has the formula:



wherein  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

$T^b$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z^a)_q$ ,  $-R^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of -O-, -S- and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z^a$  is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

112. (Once Amended) The method according to Claim 122, 123, or 124, wherein Q has the formula:



wherein  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

$\text{T}^b$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(\text{R}^{21}\text{Z}^a)_q\text{R}^{21}-$  and  $-\text{Z}^a\text{R}^{21}-$  where  $\text{Z}^a$  is a substituent selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$  and  $>\text{NR}^{20}$ , each  $\text{R}^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each  $\text{R}^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $\text{Z}^a$  is  $-\text{O}-$  or  $-\text{S}-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-\text{O}-$  or  $-\text{S}-$ , and  $q$  is an integer of from 1 to 3.

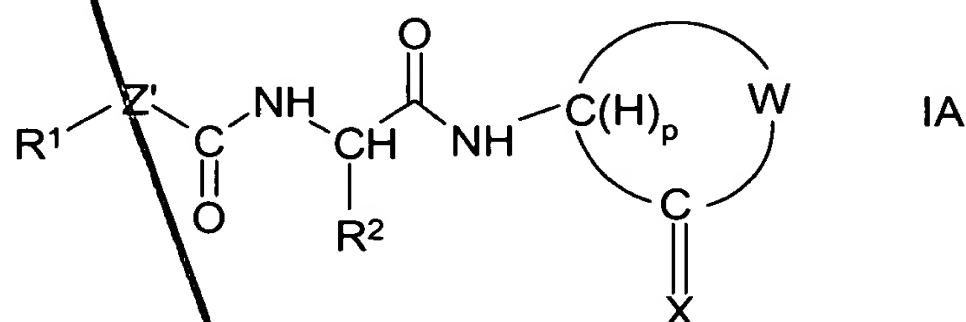
---

Please add new Claims 118-130:

05

118. (New) A method for inhibiting  $\beta$ -amyloid peptide synthesis and/or release in a mammalian subject thereby inhibiting onset of diseases mediated by  $\beta$ -amyloid peptide which method comprises administering to said mammalian subject a pharmaceutical

composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IA:



wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
- 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein

cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- DS
- 8) acylamino having the formula  $-C(O)NRR$  where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 10) amino;
- 11) aminoacyl having the formula  $-NRC(O)R$  wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 12) aminoacyloxy having the formula  $-NRC(O)OR$  wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein;

wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- DS
- 13) cyano;
  - 14) halogen;
  - 15) hydroxyl;
  - 16) carboxyl;
  - 17) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 18) thiol;
  - 19) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - 20) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - 21) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
    - a) hydroxy;
    - b) acyl as defined in F7 herein;
    - c) acyloxy as defined in F9 herein;
    - d) alkyl as defined in A herein;
    - e) substituted alkyl as defined in F herein;
    - f) alkoxy as defined in F1 herein;
    - g) substituted alkoxy as defined in F2 herein;
    - h) alkenyl as defined in B herein;
    - i) substituted alkenyl as defined in G herein;
    - j) alkynyl as defined in C herein;
    - k) substituted alkynyl as defined in H herein;
    - l) amino;
    - m) aminoacyl as defined in F11 herein;
    - n) acylamino as defined in F8 herein;

DS

- o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- p) aryl as defined in F21 herein;
- q) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- r) azido;
- s) carboxyl;
- t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- u) cyano;
- v) halo selected from fluoro, chloro, bromo and iodo;
- w) nitro;
- x) heteroaryl as defined in F22 herein;
- y) heterocyclic as defined in F23 herein;
- z) aminoacyloxy as defined in F12 herein;
- aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein;

- DS
- ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F22 herein;
  - ff) -SO-alkyl wherein alkyl is defined in A herein;
  - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - hh) -SO-aryl wherein aryl is defined in F21 herein;
  - ii) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - nn) trihalomethyl wherein halo is defined in I20 herein;
  - oo) mono- and dialkylamino wherein alkyl is defined in A herein;
  - pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - qq) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
  - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;



- DS
- 22) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 23) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;

- DS
- f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 24) aryloxy of the formula -O-aryl wherein aryl is defined in F21 herein;
  - 25) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 26) hydroxyamino;
  - 27) alkoxyamino wherein alkoxy is defined in F1 herein;
  - 28) nitro;
  - 29) -SO-alkyl wherein alkyl is defined in A herein;
  - 30) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 31) -SO-aryl wherein aryl is defined in F21 herein;
  - 32) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 33) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 34) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 35) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 36) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 37) mono- and dialkylamino wherein alkyl is defined in A herein;

- 05
- 38) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 39) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 40) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 41) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
  - 42) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:

- 1) alkoxy as defined in F1 herein;
- 2) substituted alkoxy as defined in F2 herein;
- 3) acyl as defined in F7 herein;
- 4) acylamino as defined in F8 herein;
- 5) acyloxy as defined in F9 herein;
- 6) amino;
- 7) aminoacyl as defined in F11 herein;
- 8) aminoacyloxy as defined in F12 herein;
- 9) cyano;
- 10) halogen selected from fluoro, chloro, bromo and iodo;
- 11) hydroxyl;
- 12) carboxyl;
- 13) carboxylalkyl as defined in F17 herein;
- 14) thiol;

NS

- 15) thioalkoxy as defined in F19 herein;
- 16) substituted thioalkoxy as defined in F20 herein;
- 17) aryl as defined in F21 herein;
- 18) heteroaryl as defined in F22 herein;
- 19) heterocyclic as defined in F2 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F21 herein;
- 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
- 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

H) substituted alkynyl of from 1 to 3 substituents selected from:

- 05
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F17 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F19 herein;
  - 16) substituted thioalkoxy as defined in F20 herein;
  - 17) aryl as defined in F21 herein;
  - 18) heteroaryl as defined in F22 herein;
  - 19) heterocyclic as defined in F23 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F21 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;

- DS
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:

- 1) hydroxy;
- 2) acyl as defined in F7 herein;
- 3) acyloxy as defined in F9 herein;
- 4) alkyl as defined in A herein;
- 5) substituted alkyl as defined in F herein;
- 6) alkoxy as defined in F1 herein;
- 7) substituted alkoxy as defined in F2 herein;
- 8) alkenyl as defined in B herein;
- 9) substituted alkenyl as defined in G herein;
- 10) alkynyl as defined in C herein;
- 11) substituted alkynyl as defined in H herein;
- 12) amino;
- 13) aminoacyl as defined in F11 herein;

- 05
- 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - 15) aryl as defined in F21 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F22 herein;
  - 23) thioalkoxy as defined in F19 herein;
  - 24) substituted thioalkoxy as defined in F20 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;

J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:

- 1) hydroxy;
- 2) acyl as defined in F7 herein;
- 3) acyloxy as defined in F9 herein;
- 4) alkyl as defined in A herein;
- 5) substituted alkyl as defined in F herein;
- 6) alkoxy as defined in F1 herein;
- 7) substituted alkoxy as defined in F2 herein;
- 8) alkenyl as defined in B herein;
- 9) substituted alkenyl as defined in G herein;
- 10) alkynyl as defined in C herein;
- 11) substituted alkynyl as defined in H herein;

- 05
- 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - 15) aryl as defined in F21 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F22 herein;
  - 23) thioalkoxy as defined in F19 herein;
  - 24) substituted thioalkoxy as defined in F20 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;

- K) aryl as defined in F21 herein;
- L) heteroaryl as defined in F22 herein; and
- M) heterocyclic as defined in F23 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F21 herein; or
- R) heteroaryl as defined in F22 herein;



X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

R<sup>2</sup> is selected from the group consisting of:

- 05
- S) alkyl as defined in A herein;
  - T) alkenyl as defined in B herein;
  - U) alkynyl as defined in C herein;
  - V) substituted alkyl as defined in F herein;
  - W) substituted alkenyl as defined in G herein;
  - X) substituted alkynyl as defined in H herein;
  - Y) cycloalkyl as defined in D herein;
  - Z) aryl as defined in F21 herein;
  - AA) heteroaryl as defined in F22 herein;
  - BB) heterocyclic as defined in F23 herein;
  - BB<sup>1</sup>) 2-aminopyrid-6-yl;
  - BB<sup>2</sup>) 2-methylcyclopentyl;
  - BB<sup>3</sup>) cyclohex-2-enyl; and
  - BB<sup>4</sup>)  $-(CH_2)_4NHC(O)OC(CH_3)_3$

W, together with  $-C(H)_pC(=X)-$ , forms a:

- CC) cycloalkyl as defined in D herein;
- DD) cycloalkenyl as defined in E herein;
- EE) heterocyclic as defined in F23 herein;
- FF) substituted cycloalkyl as defined in I herein; or
- GG) substituted cycloalkenyl group as defined in J herein;

wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;

- II) cycloalkenyl as defined in E herein;  
JJ) heterocyclic as defined in F23 herein;  
KK) aryl as defined in F21 herein; and  
LL) heteroaryl as defined in F22 herein;

which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of:

- MM) hydroxyl;  
NN) halo as defined in F21 herein;  
OO) alkoxy as defined in F1 herein;  
PP) substituted alkoxy as defined in F2 herein;  
QQ) thioalkoxy as defined in F19 herein;  
RR) substituted thioalkoxy as defined in F20 herein;  
SS) nitro;  
TT) cyano;  
UU) carboxyl;  
VV) carboxyl esters;  
WW) alkyl as defined in A herein;  
XX) substituted alkyl as defined in F herein;  
YY) alkenyl as defined in B herein;  
ZZ) substituted alkenyl as defined in G herein;  
AAA) alkynyl as defined in C herein;  
BBB) substituted alkynyl as defined in H herein;  
CCC) amino;  
DDD) N-alkyl amino wherein alkyl is defined in A herein;  
EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;  
FFF) N-substituted alkylamino wherein alkyl is defined in A herein;  
GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;  
HHH) N,N-disubstituted alkyl amino;

III)  $\text{-NHC(O)R}^4$  where each  $\text{R}^4$  is independently selected from the group consisting of:

- 1) alkyl as defined in A herein;
- 2) substituted alkyl as defined in F herein;
- 3) aryl as defined in F21 herein;

JJJ)  $\text{-NHSO}_2\text{R}^4$  wherein  $\text{R}^4$  is defined in III herein;

KKK)  $\text{-C(O)NH}_2$ ;

LLL)  $\text{-C(O)NHR}^4$  where  $\text{R}^4$  is defined in III herein;

MMM)  $\text{-C(O)NR}^4\text{R}^4$  where  $\text{R}^4$  is defined in III herein;

NNN)  $\text{-S(O)R}^4$  where  $\text{R}^4$  is defined in III herein;

OOO)  $\text{-S(O)}_2\text{R}^4$  where  $\text{R}^4$  is defined in III herein;

PPP)  $\text{-S(O)}_2\text{NHR}^4$  where  $\text{R}^4$  is defined in III herein; and

QQQ)  $\text{-S(O)}_2\text{NR}^4\text{R}^4$  where  $\text{R}^4$  is defined in III herein;

X is selected from the group consisting of oxo ( $=\text{O}$ ), thiooxo ( $=\text{S}$ ), hydroxyl ( $-\text{H}$ ,  $-\text{OH}$ ), thiol ( $\text{H}$ ,  $-\text{SH}$ ) and hydro ( $\text{H}$ ,  $\text{H}$ );

$p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by W and  $\text{-C(H)}_p\text{C(=X)-}$  is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

or pharmaceutically acceptable salts thereof;

with the following provisos:

RRR. when  $\text{R}^1$  is 3,5-difluorophenyl,  $\text{R}^2$  is  $-\text{CH}_3$ ,  $\text{Z}'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a 2-(S)-indanol group;

SSS. when  $\text{R}^1$  is phenyl,  $\text{R}^2$  is  $-\text{CH}_3$ ,  $\text{Z}'$  is  $-\text{CH}_2-$ ,  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a trans-2-hydroxy-cyclohex-1-yl group;

TTT. when  $\text{R}^1$  is cyclopropyl,  $\text{R}^2$  is  $-\text{CH}_3$ ,  $\text{Z}'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an N-methylcaprolactam group;

UUU. when  $R^1$  is 4-chlorobenzoyl- $CH_2$ -,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

VVV. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

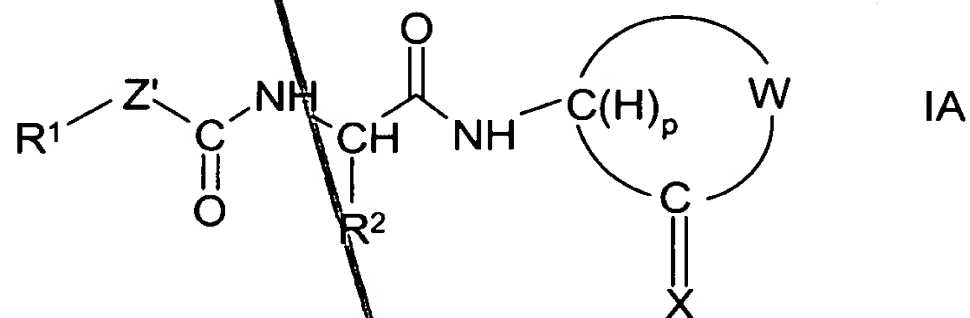
05 WWW. when  $R^1$  is  $CH_3OC(O)CH_2$ -,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form an 2,3-dihydro-1-(*t*-butyl $C(O)CH_2$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

XXX. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $CH_3OC(O)CH_2$ -, 4- $HOCH_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $CH_3S$ -,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a 2,3-dihydro-1-(*N,N*-diethylamino- $CH_2CH_2$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

YYY. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH(OH)$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a 2,3-dihydro-1-(*N,N*-diethylamino- $CH_2CH_2$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one; and

ZZZ. when the ring defined by W and  $-C(H)_pC(=X)$ - forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

119. (New) A method for inhibiting  $\beta$ -amyloid peptide synthesis and/or release in a human subject thereby inhibiting onset of diseases mediated by  $\beta$ -amyloid peptide which method comprises administering to said human subject a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IA:



wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
- 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-,

cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- DS
- 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 10) amino;
- 11) aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 12) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl,

substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 17) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 18) thiol;
- 19) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 20) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 21) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - l) amino;

05

- 05
- m) aminoacyl as defined in F11 herein;
  - n) acylamino as defined in F8 herein;
  - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - p) aryl as defined in F21 herein;
  - q) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - r) azido;
  - s) carboxyl;
  - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - u) cyano;
  - v) halo selected from fluoro, chloro, bromo and iodo;
  - w) nitro;
  - x) heteroaryl as defined in F22 herein;
  - y) heterocyclic as defined in F23 herein;
  - z) aminoacyloxy as defined in F12 herein;
  - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
  - bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;



105

- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein;
- ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F22 herein;
- ff) -SO-alkyl wherein alkyl is defined in A herein;
- gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- hh) -SO-aryl wherein aryl is defined in F21 herein;
- ii) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- nn) trihalomethyl wherein halo is defined in I20 herein;
- oo) mono- and dialkylamino wherein alkyl is defined in A herein;
- pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- qq) mono- and di-arylamino wherein aryl is defined in F21 herein;
- rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
- tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 105
- 22) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 23) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;

- 05
- f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 24) aryloxy of the formula -O-aryl wherein aryl is defined in F21 herein;
  - 25) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 26) hydroxyamino;
  - 27) alkoxyamino wherein alkoxy is defined in F1 herein;
  - 28) nitro;
  - 29) -SO-alkyl wherein alkyl is defined in A herein;
  - 30) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 31) -SO-aryl wherein aryl is defined in F21 herein;
  - 32) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 33) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 34) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 35) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 36) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 37) mono- and dialkylamino wherein alkyl is defined in A herein;

- 05
- 38) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 39) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 40) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
  - 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:

- 1) alkoxy as defined in F1 herein;
- 2) substituted alkoxy as defined in F2 herein;
- 3) acyl as defined in F7 herein;
- 4) acylamino as defined in F8 herein;
- 5) acyloxy as defined in F9 herein;
- 6) amino;
- 7) aminoacyl as defined in F11 herein;
- 8) aminoacyloxy as defined in F12 herein;
- 9) cyano;
- 10) halogen selected from fluoro, chloro, bromo and iodo;
- 11) hydroxyl;
- 12) carboxyl;
- 13) carboxylalkyl as defined in F17 herein;
- 14) thiol;

- 15) thioalkoxy as defined in F19 herein;
- 16) substituted thioalkoxy as defined in F20 herein;
- 17) aryl as defined in F21 herein;
- 18) heteroaryl as defined in F22 herein;
- 19) heterocyclic as defined in F23 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F21 herein;
- 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
- 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

H) substituted alkynyl of from 1 to 3 substituents selected from:

- DS
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F17 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F19 herein;
  - 16) substituted thioalkoxy as defined in F20 herein;
  - 17) aryl as defined in F21 herein;
  - 18) heteroaryl as defined in F22 herein;
  - 19) heterocyclic as defined in F23 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F21 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;

- DS
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F21 herein; and wherein heterocyclic is defined in F23 herein;

I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:

- 1) hydroxy;
- 2) acyl as defined in F7 herein;
- 3) acyloxy as defined in F9 herein;
- 4) alkyl as defined in A herein;
- 5) substituted alkyl as defined in F herein;
- 6) alkoxy as defined in F1 herein;
- 7) substituted alkoxy as defined in F2 herein;
- 8) alkenyl as defined in B herein;
- 9) substituted alkenyl as defined in G herein;
- 10) alkynyl as defined in C herein;
- 11) substituted alkynyl as defined in H herein;
- 12) amino;
- 13) aminoacyl as defined in F11 herein;

DS

- 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - 15) aryl as defined in F21 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F22 herein;
  - 23) thioalkoxy as defined in F19 herein;
  - 24) substituted thioalkoxy as defined in F20 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;



- 05
- 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - 15) aryl as defined in F21 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F22 herein;
  - 23) thioalkoxy as defined in F19 herein;
  - 24) substituted thioalkoxy as defined in F20 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F21 herein;
  - L) heteroaryl as defined in F22 herein; and
  - M) heterocyclic as defined in F23 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F21 herein; or
- R) heteroaryl as defined in F22 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

R<sup>2</sup> is selected from the group consisting of:

- DS
- S) alkyl as defined in A herein;
  - T) alkenyl as defined in B herein;
  - U) alkynyl as defined in C herein;
  - V) substituted alkyl as defined in F herein;
  - W) substituted alkenyl as defined in G herein;
  - X) substituted alkynyl as defined in H herein;
  - Y) cycloalkyl as defined in D herein;
  - Z) aryl as defined in F21 herein;
  - AA) heteroaryl as defined in F22 herein;
  - BB) heterocyclic as defined in F23 herein;
  - BB<sup>1</sup>) 2-aminopyrid-6-yl;
  - BB<sup>2</sup>) 2-methylcyclopentyl;
  - BB<sup>3</sup>) cyclohex-2-enyl; and
  - BB<sup>4</sup>)  $-(CH_2)_4NHC(O)OC(CH_3)_3$

W, together with  $-C(H)_pC(=X)-$ , forms a:

- CC) cycloalkyl as defined in D herein;
- DD) cycloalkenyl as defined in E herein;
- EE) heterocyclic as defined in F23 herein;
- FF) substituted cycloalkyl as defined in I herein; or
- GG) substituted cycloalkenyl group as defined in J herein;

wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;

- II) cycloalkenyl as defined in E herein;  
JJ) heterocyclic as defined in F23 herein;  
KK) aryl as defined in F21 herein; and  
LL) heteroaryl as defined in F22 herein;

which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of:

- MM) hydroxyl;  
NN) halo as defined in F21 herein;  
OO) alkoxy as defined in F1 herein;  
PP) substituted alkoxy as defined in F2 herein;  
QQ) thioalkoxy as defined in F19 herein;  
RR) substituted thioalkoxy as defined in F20 herein;  
SS) nitro;  
TT) cyano;  
UU) carboxyl;  
VV) carboxyl esters;  
WW) alkyl as defined in A herein;  
XX) substituted alkyl as defined in F herein;  
YY) alkenyl as defined in B herein;  
ZZ) substituted alkenyl as defined in G herein;  
AAA) alkynyl as defined in C herein;  
BBB) substituted alkynyl as defined in H herein;  
CCC) amino;  
DDD) N-alkyl amino wherein alkyl is defined in A herein;  
EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;  
FFF) N-substituted alkylamino wherein alkyl is defined in A herein;  
GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;  
HHH) N,N-disubstituted alkyl amino;

III)  $-\text{NHC}(\text{O})\text{R}^4$  where each  $\text{R}^4$  is independently selected from the group consisting of:

- 1) alkyl as defined in A herein;
- 2) substituted alkyl as defined in F herein;
- 3) aryl as defined in F21 herein;

JJJ)  $-\text{NHSO}_2\text{R}^4$  wherein  $\text{R}^4$  is defined in III herein;

KKK)  $-\text{C}(\text{O})\text{NH}_2$ ;

LLL)  $-\text{C}(\text{O})\text{NHR}^4$  where  $\text{R}^4$  is defined in III herein;

MMM)  $-\text{C}(\text{O})\text{NR}^4\text{R}^4$  where  $\text{R}^4$  is defined in III herein;

NNN)  $-\text{S}(\text{O})\text{R}^4$  where  $\text{R}^4$  is defined in III herein;

OOO)  $-\text{S}(\text{O})_2\text{R}^4$  where  $\text{R}^4$  is defined in III herein;

PPP)  $-\text{S}(\text{O})_2\text{NHR}^4$  where  $\text{R}^4$  is defined in III herein; and

QQQ)  $-\text{S}(\text{O})_2\text{NR}^4\text{R}^4$  where  $\text{R}^4$  is defined in III herein;

X is selected from the group consisting of oxo ( $=\text{O}$ ), thiooxo ( $=\text{S}$ ), hydroxyl ( $-\text{H}$ ,  $-\text{OH}$ ), thiol ( $\text{H}$ ,  $-\text{SH}$ ) and hydro ( $\text{H}$ ,  $\text{H}$ );

$p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by W and  $-\text{C}(\text{H})_p\text{C}(=\text{X})-$  is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

or pharmaceutically acceptable salts thereof;

with the following provisos:

RRR. when  $\text{R}^1$  is 3,5-difluorophenyl,  $\text{R}^2$  is  $-\text{CH}_3$ ,  $\text{Z}'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a 2-(S)-indanol group;

SSS. when  $\text{R}^1$  is phenyl,  $\text{R}^2$  is  $-\text{CH}_3$ ,  $\text{Z}'$  is  $-\text{CH}_2-$ ,  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a trans-2-hydroxy-cyclohex-1-yl group;

TTT. when  $\text{R}^1$  is cyclopropyl,  $\text{R}^2$  is  $-\text{CH}_3$ ,  $\text{Z}'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an N-methylcaprolactam group;

UUU. when  $R^1$  is 4-chlorobenzoyl- $CH_2$ -,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

VVV. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

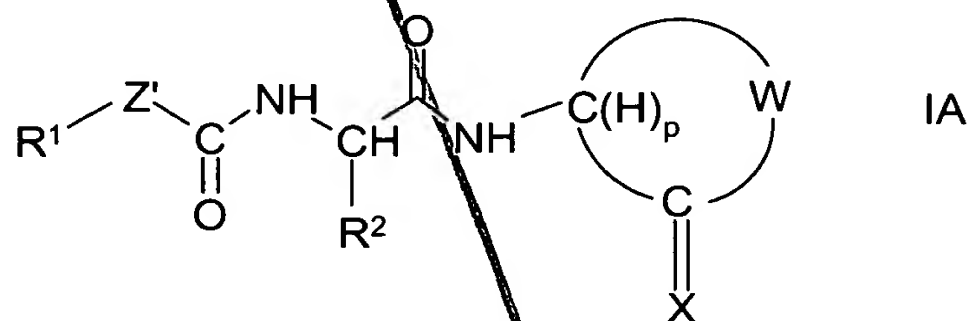
05 WWW. when  $R^1$  is  $CH_3OC(O)CH_2$ -,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form an 2,3-dihydro-1-(*t*-butylC(O) $CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

XXX. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $CH_3OC(O)CH_2$ -, 4- $HOCH_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $CH_3S$ -,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a 2,3-dihydro-1-(N,N-diethylamino- $CH_2CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

YYY. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH(OH)$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a 2,3-dihydro-1-(N,N-diethylamino- $CH_2CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

ZZZ. when the ring defined by W and  $-C(H)_pC(=X)$ - forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

120. (New) A method for treating a human subject with AD in order to inhibit further deterioration in the condition of said human subject which method comprises administering to said subject a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IA:



wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
- 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-,

cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 05
- 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 10) amino;
- 11) aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 12) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl,

substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 17) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 18) thiol;
- 19) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 20) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 21) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - l) amino;



- DS
- m) aminoacyl as defined in F11 herein;
  - n) acylamino as defined in F8 herein;
  - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - p) aryl as defined in F21 herein;
  - q) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - r) azido;
  - s) carboxyl;
  - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - u) cyano;
  - v) halo selected from fluoro, chloro, bromo and iodo;
  - w) nitro;
  - x) heteroaryl as defined in F22 herein;
  - y) heterocyclic as defined in F23 herein;
  - z) aminoacyloxy as defined in F12 herein;
  - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
  - bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;

- 05
- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein;
  - ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F22 herein;
  - ff) -SO-alkyl wherein alkyl is defined in A herein;
  - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - hh) -SO-aryl wherein aryl is defined in F21 herein;
  - ii) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - nn) trihalomethyl wherein halo is defined in I20 herein;
  - oo) mono- and dialkylamino wherein alkyl is defined in A herein;
  - pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - qq) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
  - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- DS
- 22) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 23) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;

- DS
- f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 24) aryloxy of the formula -O-aryl wherein aryl is defined in F21 herein;
  - 25) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 26) hydroxyamino;
  - 27) alkoxyamino wherein alkoxy is defined in F1 herein;
  - 28) nitro;
  - 29) -SO-alkyl wherein alkyl is defined in A herein;
  - 30) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 31) -SO-aryl wherein aryl is defined in F21 herein;
  - 32) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 33) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 34) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 35) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 36) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 37) mono- and dialkylamino wherein alkyl is defined in A herein;

- DS
- 38) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 39) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 40) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 41) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
  - 42) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:

- 1) alkoxy as defined in F1 herein;
- 2) substituted alkoxy as defined in F2 herein;
- 3) acyl as defined in F7 herein;
- 4) acylamino as defined in F8 herein;
- 5) acyloxy as defined in F9 herein;
- 6) amino;
- 7) aminoacyl as defined in F11 herein;
- 8) aminoacyloxy as defined in F12 herein;
- 9) cyano;
- 10) halogen selected from fluoro, chloro, bromo and iodo;
- 11) hydroxyl;
- 12) carboxyl;
- 13) carboxylalkyl as defined in F17 herein;
- 14) thiol;

- DS
- 15) thioalkoxy as defined in F19 herein;
  - 16) substituted thioalkoxy as defined in F20 herein;
  - 17) aryl as defined in F21 herein;
  - 18) heteroaryl as defined in F22 herein;
  - 19) heterocyclic as defined in F23 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F21 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

H) substituted alkynyl of from 1 to 3 substituents selected from:

- DS
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F17 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F19 herein;
  - 16) substituted thioalkoxy as defined in F20 herein;
  - 17) aryl as defined in F21 herein;
  - 18) heteroaryl as defined in F22 herein;
  - 19) heterocyclic as defined in F23 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F21 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;

- 05
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:

- 1) hydroxy;
- 2) acyl as defined in F7 herein;
- 3) acyloxy as defined in F9 herein;
- 4) alkyl as defined in A herein;
- 5) substituted alkyl as defined in F herein;
- 6) alkoxy as defined in F1 herein;
- 7) substituted alkoxy as defined in F2 herein;
- 8) alkenyl as defined in B herein;
- 9) substituted alkenyl as defined in G herein;
- 10) alkynyl as defined in C herein;
- 11) substituted alkynyl as defined in H herein;
- 12) amino;
- 13) aminoacyl as defined in F11 herein;



- DS
- 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - 15) aryl as defined in F21 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F22 herein;
  - 23) thioalkoxy as defined in F19 herein;
  - 24) substituted thioalkoxy as defined in F20 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;

J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:

- 1) hydroxy;
- 2) acyl as defined in F7 herein;
- 3) acyloxy as defined in F9 herein;
- 4) alkyl as defined in A herein;
- 5) substituted alkyl as defined in F herein;
- 6) alkoxy as defined in F1 herein;
- 7) substituted alkoxy as defined in F2 herein;
- 8) alkenyl as defined in B herein;
- 9) substituted alkenyl as defined in G herein;
- 10) alkynyl as defined in C herein;
- 11) substituted alkynyl as defined in H herein;

- DS
- 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - 15) aryl as defined in F21 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F22 herein;
  - 23) thioalkoxy as defined in F19 herein;
  - 24) substituted thioalkoxy as defined in F20 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F21 herein;
  - L) heteroaryl as defined in F22 herein; and
  - M) heterocyclic as defined in F23 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F21 herein; or
- R) heteroaryl as defined in F22 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

R<sup>2</sup> is selected from the group consisting of:

- DS
- S) alkyl as defined in A herein;
  - T) alkenyl as defined in B herein;
  - U) alkynyl as defined in C herein;
  - V) substituted alkyl as defined in F herein;
  - W) substituted alkenyl as defined in G herein;
  - X) substituted alkynyl as defined in H herein;
  - Y) cycloalkyl as defined in D herein;
  - Z) aryl as defined in F21 herein;
  - AA) heteroaryl as defined in F22 herein;
  - BB) heterocyclic as defined in F23 herein;
  - BB<sup>1</sup>) 2-aminopyrid-6-yl;
  - BB<sup>2</sup>) 2-methylcyclopentyl;
  - BB<sup>3</sup>) cyclohex-2-enyl; and
  - BB<sup>4</sup>)  $-(\text{CH}_2)_4\text{NHC}(\text{O})\text{OC}(\text{CH}_3)_3$

W, together with  $-\text{C}(\text{H})_p\text{C}(=\text{X})-$ , forms a:

- CC) cycloalkyl as defined in D herein;
- DD) cycloalkenyl as defined in E herein;
- EE) heterocyclic as defined in F23 herein;
- FF) substituted cycloalkyl as defined in I herein; or
- GG) substituted cycloalkenyl group as defined in J herein;

wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;

- II) cycloalkenyl as defined in E herein;  
JJ) heterocyclic as defined in F23 herein;  
KK) aryl as defined in F21 herein; and  
LL) heteroaryl as defined in F22 herein;

which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of:

- MM) hydroxyl;  
NN) halo as defined in F21 herein;  
OO) alkoxy as defined in F1 herein;  
PP) substituted alkoxy as defined in F2 herein;  
QQ) thioalkoxy as defined in F19 herein;  
RR) substituted thioalkoxy as defined in F20 herein;  
SS) nitro;  
TT) cyano;  
UU) carboxyl;  
VV) carboxyl esters;  
WW) alkyl as defined in A herein;  
XX) substituted alkyl as defined in F herein;  
YY) alkenyl as defined in B herein;  
ZZ) substituted alkenyl as defined in G herein;  
AAA) alkynyl as defined in C herein;  
BBB) substituted alkynyl as defined in H herein;  
CCC) amino;  
DDD) N-alkyl amino wherein alkyl is defined in A herein;  
EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;  
FFF) N-substituted alkylamino wherein alkyl is defined in A herein;  
GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;  
HHH) N,N-disubstituted alkyl amino;

DS

III) -NHC(O)R<sup>4</sup> where each R<sup>4</sup> is independently selected from the group consisting of:

- 1) alkyl as defined in A herein;
- 2) substituted alkyl as defined in F herein;
- 3) aryl as defined in F21 herein;

JJJ) -NHSO<sub>2</sub>R<sup>4</sup> wherein R<sup>4</sup> is defined in III herein;

KKK) -C(O)NH<sub>2</sub>;

LLL) -C(O)NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein;

MMM) -C(O)NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

NNN) -S(O)R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

OOO) -S(O)<sub>2</sub>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

PPP) -S(O)<sub>2</sub>NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein; and

QQQ) -S(O)<sub>2</sub>NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

*p* is an integer equal to 0 or 1 such that when *p* is zero, the ring defined by W and -C(H)<sub>*p*</sub>C(=X)- is unsaturated at the carbon atom of ring attachment to NH and when *p* is one, the ring is saturated at the carbon atom of ring attachment to NH;

or pharmaceutically acceptable salts thereof;

with the following provisos:

RRR. when R<sup>1</sup> is 3,5-difluorophenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;

SSS. when R<sup>1</sup> is phenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, *p* is 1, then W, together with >CH and >C=X, does not form a trans-2-hydroxy-cyclohex-1-yl group;

TTT. when R<sup>1</sup> is cyclopropyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form an N-methylcaprolactam group;

UUU. when  $R^1$  is 4-chlorobenzoyl- $CH_2$ -,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

VVV. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

WWW. when  $R^1$  is  $CH_3OC(O)CH_2$ -,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form an 2,3-dihydro-1-(*t*-butylC(O) $CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

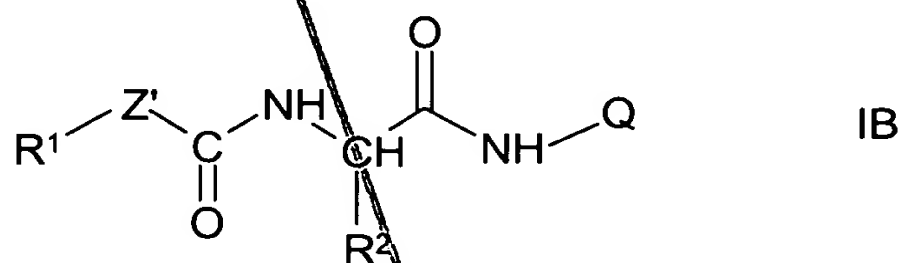
15 XXX. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $CH_3OC(O)CH_2$ -, 4- $HOCH_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $CH_3S$ -,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH_2$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a 2,3-dihydro-1-(N,N-diethylamino- $CH_2CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

YYY. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is  $-CH_3$ ,  $Z'$  is  $-CH(OH)$ -, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a 2,3-dihydro-1-(N,N-diethylamino- $CH_2CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one; and

ZZZ. when the ring defined by W and  $-C(H)_pC(=X)$ - forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

121. (New) The method according to Claim 118, 119, or 120, wherein the cyclic groups defined by W and  $-C(H)_pC(=X)$ - is selected from the group consisting of lactones, lactams, thiolactones, thiolactams, optionally substituted heterocyclic and cycloalkyl groups.

122. (New) A method for inhibiting  $\beta$ -amyloid peptide synthesis and/or release in a mammalian subject thereby inhibiting onset of diseases mediated by  $\beta$ -amyloid peptide which method comprises administering to said mammalian subject a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IB:



wherein  $\text{R}^1$  is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-,

cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- DS
- 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 10) amino;
- 11) aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 12) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl,



substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 17) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 18) thiol;
- 19) thioalkoxy having the formula "-S-alkyl, wherein alkyl is defined in A herein;
- 20) substituted thioalkoxy having the formula "-S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 21) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - l) amino;

- DS
- m) aminoacyl as defined in F11 herein;
  - n) acylamino as defined in F8 herein;
  - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - p) aryl as defined in F21 herein;
  - q) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - r) azido;
  - s) carboxyl;
  - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - u) cyano;
  - v) halo selected from fluoro, chloro, bromo and iodo;
  - w) nitro;
  - x) heteroaryl as defined in F22 herein;
  - y) heterocyclic as defined in F23 herein;
  - z) aminoacyloxy as defined in F12 herein;
  - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
  - bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;

- 05
- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein;
  - ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F22 herein;
  - ff) -SO-alkyl wherein alkyl is defined in A herein;
  - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - hh) -SO-aryl wherein aryl is defined in F21 herein;
  - ii) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - nn) trihalomethyl wherein halo is defined in I20 herein;
  - oo) mono- and dialkylamino wherein alkyl is defined in A herein;
  - pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - qq) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
  - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- DS
- 22) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 23) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;

- DS
- f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 24) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
  - 25) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 26) hydroxyamino;
  - 27) alkoxyamino wherein alkoxy is defined in F1 herein;
  - 28) nitro;
  - 29) -SO-alkyl wherein alkyl is defined in A herein;
  - 30) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 31) -SO-aryl wherein aryl is defined in F21 herein;
  - 32) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 33) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 34) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 35) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 36) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 37) mono- and dialkylamino wherein alkyl is defined in A herein;

- 05
- 38) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 39) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 40) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 41) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
  - 42) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F17 herein;
  - 14) thiol;

- 15) thioalkoxy as defined in F19 herein;
- 16) substituted thioalkoxy as defined in F20 herein;
- 17) aryl as defined in F21 herein;
- 18) heteroaryl as defined in F22 herein;
- 19) heterocyclic as defined in F23 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F21 herein;
- 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
- 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

D S

H) substituted alkynyl of from 1 to 3 substituents selected from:

- DS
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F17 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F19 herein;
  - 16) substituted thioalkoxy as defined in F20 herein;
  - 17) aryl as defined in F21 herein;
  - 18) heteroaryl as defined in F22 herein;
  - 19) heterocyclic as defined in F23 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F21 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;



- DS
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:

- 1) hydroxy;
- 2) acyl as defined in F7 herein;
- 3) acyloxy as defined in F9 herein;
- 4) alkyl as defined in A herein;
- 5) substituted alkyl as defined in F herein;
- 6) alkoxy as defined in F1 herein;
- 7) substituted alkoxy as defined in F2 herein;
- 8) alkenyl as defined in B herein;
- 9) substituted alkenyl as defined in G herein;
- 10) alkynyl as defined in C herein;
- 11) substituted alkynyl as defined in H herein;
- 12) amino;
- 13) aminoacyl as defined in F11 herein;

- 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- 15) aryl as defined in F21 herein;
- 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- 17) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) cyano;
- 20) halo selected from fluoro, chloro, bromo and iodo;
- 21) nitro;
- 22) heteroaryl as defined in F22 herein;
- 23) thioalkoxy as defined in F19 herein;
- 24) substituted thioalkoxy as defined in F20 herein; and
- 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;

- DS
- 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - 15) aryl as defined in F21 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F22 herein;
  - 23) thioalkoxy as defined in F19 herein;
  - 24) substituted thioalkoxy as defined in F20 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;

- K) aryl as defined in F21 herein;
- L) heteroaryl as defined in F22 herein; and
- M) heterocyclic as defined in F23 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F21 herein; or
- R) heteroaryl as defined in F22 herein;

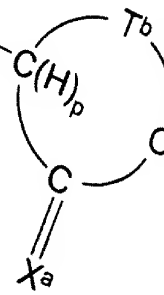
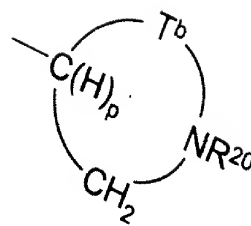
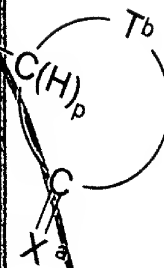
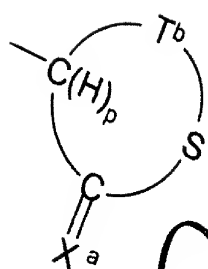
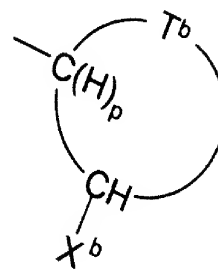
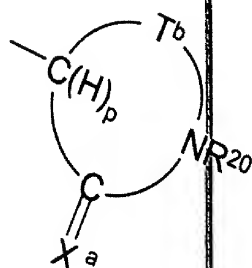
X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

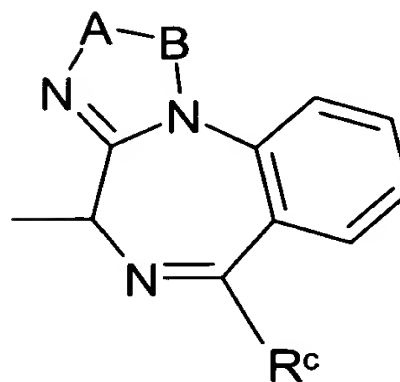
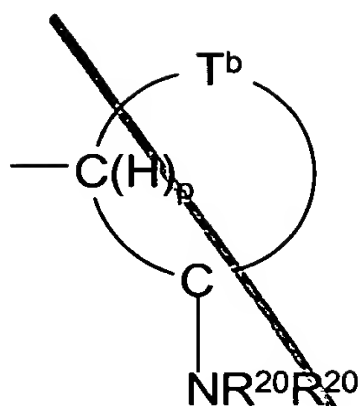
R<sup>2</sup> is selected from the group consisting of:

- DS
- S) alkyl as defined in A herein;
  - T) substituted alkyl as defined in F herein;
  - U) alkenyl as defined in B herein;
  - V) substituted alkenyl as defined in G herein;
  - W) alkynyl as defined in C herein;
  - X) substituted alkynyl as defined in H herein;
  - Y) cycloalkyl as defined in D herein;
  - Z) aryl as defined in F21 herein;
  - AA) heteroaryl as defined in F22 herein;
  - BB) heterocyclic as defined in F23 herein;
  - BB<sup>1</sup>) 2-aminopyrid-6-yl;
  - BB<sup>2</sup>) 2-methylcyclopentyl;
  - BB<sup>3</sup>) cyclohex-2-enyl; and
  - BB<sup>4</sup>)  $-(\text{CH}_2)_4\text{NHC}(\text{O})\text{OC}(\text{CH}_3)_3$ ;

Q is selected from the group of monocyclic and fused polycyclic groups having the formulas:

15





wherein  $T^b$  is selected from the group consisting of:

- CC) alkylene where alkylene is a divalent alkyl and alkyl is defined in A herein;
- DD) substituted alkylene where substituted alkylene is a divalent substituted alkyl and substituted alkyl is defined in F herein;
- EE) alkenylene where alkenylene is a divalent alkenyl and alkenyl is defined in B herein;
- FF) substituted alkenylene where substituted alkenylene is a divalent substituted alkenyl and substituted alkenyl is defined in G herein;
- GG)  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of:
- 1)  $-O-$ ;
  - 2)  $-S-$ ; and
  - 3)  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of:
    - a) alkyl as defined in A herein;
    - b) alkenyl as defined in B herein;
    - c) alkynyl as defined in C herein;
    - d) cycloalkyl as defined in D herein;
    - e) cycloalkenyl as defined in E herein;
    - f) substituted alkyl as defined in F herein;
    - g) substituted alkenyl as defined in G herein;
    - h) substituted alkynyl as defined in H herein;

- i) aryl as defined in F21 herein;
- j) heteroaryl as defined in F22 herein; and
- k) heterocyclic as defined in F23 herein;

wherein each  $R^{21}$  is independently selected from the group consisting of:

- 4) alkylene as defined in CC herein;
- 5) substituted alkylene as defined in DD herein;
- 6) alkenylene as defined in EE herein; and
- 7) substituted alkenylene as defined in FF herein;

09  
with the proviso that when  $Z^a$  is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-,  $q$  is an integer of from 1 to 3;

$X^a$  is oxo or thioxo;  $X^b$  is -OH or -SH;

A-B is selected from a group of:

- HH) alkylene as defined in CC herein;
- II) alkenylene as defined in DD herein;
- JJ) substituted alkylene as defined in EE herein;
- KK) substituted alkenylene as defined in FF herein; and
- LL) -N=CH-;

$R^c$  is selected from the group consisting of:

- MM) alkyl as defined in A herein;
- NN) substituted alkyl as defined in F herein;
- OO) alkenyl as defined in B herein;
- PP) substituted alkenyl as defined in G herein;
- QQ) aryl as defined in F21 herein;
- RR) heteroaryl as defined in F22 herein;
- SS) heterocyclic as defined in F23 herein;
- TT) cycloalkyl as defined in D herein; and
- UU) substituted cycloalkyl as defined in I herein;

*p* is an integer equal to 0 or 1 such that when *p* is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when *p* is one, the ring is saturated at the carbon atom of ring attachment to NH;

or pharmaceutically acceptable salts thereof;

with the following provisos:

AAA. when R<sup>1</sup> is 3,5-difluorophenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then the group defined by Q, does not form a 2-(S)-indanol group;

09 BBB. when R<sup>1</sup> is phenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;

CCC. when R<sup>1</sup> is cyclopropyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then the group defined by Q, does not form an N-methylcaprolactam group;

DDD. when R<sup>1</sup> is 4-chlorobenzoyl-CH<sub>2</sub>-, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

EEE. when R<sup>1</sup> is 2-phenylphenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

FFF. when R<sup>1</sup> is CH<sub>3</sub>OC(O)CH<sub>2</sub>-, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(*t*-butylC(O)CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

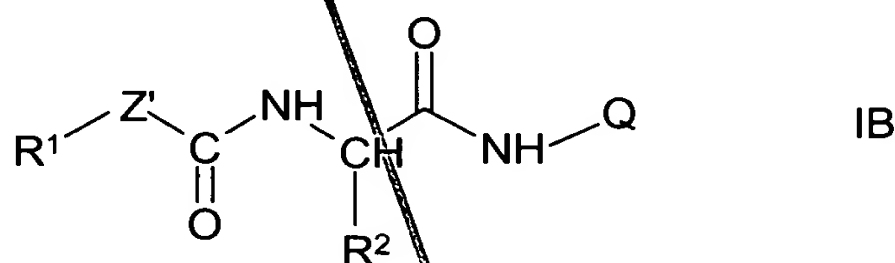
GGG. when R<sup>1</sup> is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, CH<sub>3</sub>OC(O)CH<sub>2</sub>-, 4-HOCH<sub>2</sub>-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH<sub>3</sub>S-, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

HHH. when R<sup>1</sup> is 2,6-difluorophenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH(OH)-, and *p* is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

III. when the ring defined by Q forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.



123. (New) A method for inhibiting  $\beta$ -amyloid peptide synthesis and/or release in a human subject thereby inhibiting onset of diseases mediated by  $\beta$ -amyloid peptide which method comprises administering to said human subject a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IB:



wherein  $\text{R}^1$  is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-,

DS  
cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 10) amino;
- 11) aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 12) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl,

substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 17) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 18) thiol;
- 19) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 20) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 21) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - l) amino;

- 115
- m) aminoacyl as defined in F11 herein;
  - n) acylamino as defined in F8 herein;
  - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - p) aryl as defined in F21 herein;
  - q) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - r) azido;
  - s) carboxyl;
  - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - u) cyano;
  - v) halo selected from fluoro, chloro, bromo and iodo;
  - w) nitro;
  - x) heteroaryl as defined in F22 herein;
  - y) heterocyclic as defined in F23 herein;
  - z) aminoacyloxy as defined in F12 herein;
  - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
  - bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;

- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein;
- ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F22 herein;
- ff) -SO-alkyl wherein alkyl is defined in A herein;
- gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- hh) -SO-aryl wherein aryl is defined in F21 herein;
- ii) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- nn) trihalomethyl wherein halo is defined in I20 herein;
- oo) mono- and dialkylamino wherein alkyl is defined in A herein;
- pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- qq) mono- and di-arylamino wherein aryl is defined in F21 herein;
- rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
- tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 10<sup>s</sup>
- 22) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 23) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;

- DS
- f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 24) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
  - 25) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 26) hydroxyamino;
  - 27) alkoxyamino wherein alkoxy is defined in F1 herein;
  - 28) nitro;
  - 29) -SO-alkyl wherein alkyl is defined in A herein;
  - 30) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 31) -SO-aryl wherein aryl is defined in F21 herein;
  - 32) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 33) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 34) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 35) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 36) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 37) mono- and dialkylamino wherein alkyl is defined in A herein;

- DS
- 38) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 39) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 40) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 41) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
  - 42) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F18 herein;
  - 14) thiol;



- 05
- 15) thioalkoxy as defined in F19 herein;
  - 16) substituted thioalkoxy as defined in F20 herein;
  - 17) aryl as defined in F21 herein;
  - 18) heteroaryl as defined in F22 herein;
  - 19) heterocyclic as defined in F23 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F21 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

H) substituted alkynyl of from 1 to 3 substituents selected from:

- DS
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F17 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F19 herein;
  - 16) substituted thioalkoxy as defined in F20 herein;
  - 17) aryl as defined in F21 herein;
  - 18) heteroaryl as defined in F22 herein;
  - 19) heterocyclic as defined in F23 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F21 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;

- 109
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:

- 1) hydroxy;
- 2) acyl as defined in F7 herein;
- 3) acyloxy as defined in F9 herein;
- 4) alkyl as defined in A herein;
- 5) substituted alkyl as defined in F herein;
- 6) alkoxy as defined in F1 herein;
- 7) substituted alkoxy as defined in F2 herein;
- 8) alkenyl as defined in B herein;
- 9) substituted alkenyl as defined in G herein;
- 10) alkynyl as defined in C herein;
- 11) substituted alkynyl as defined in H herein;
- 12) amino;
- 13) aminoacyl as defined in F11 herein;

- 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- 15) aryl as defined in F21 herein;
- 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- 17) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) cyano;
- 20) halo selected from fluoro, chloro, bromo and iodo;
- 21) nitro;
- 22) heteroaryl as defined in F22 herein;
- 23) thioalkoxy as defined in F19 herein;
- 24) substituted thioalkoxy as defined in F20 herein; and
- 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;

- DS
- 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - 15) aryl as defined in F21 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F22 herein;
  - 23) thioalkoxy as defined in F19 herein;
  - 24) substituted thioalkoxy as defined in F20 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F21 herein;
  - L) heteroaryl as defined in F22 herein; and
  - M) heterocyclic as defined in F23 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

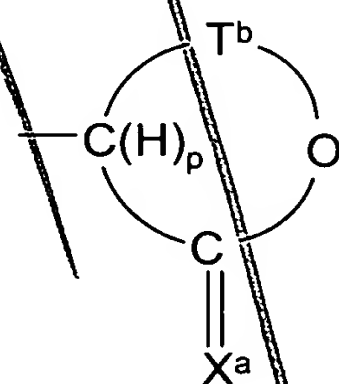
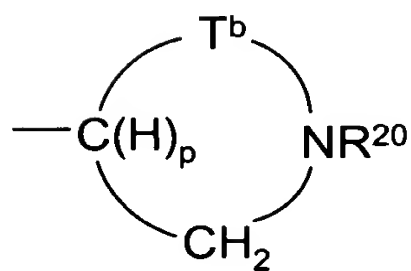
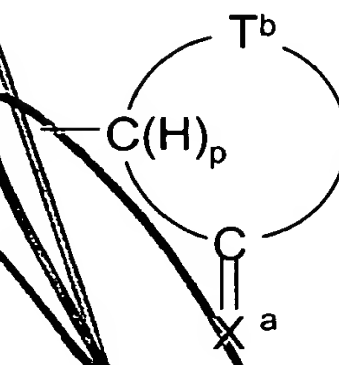
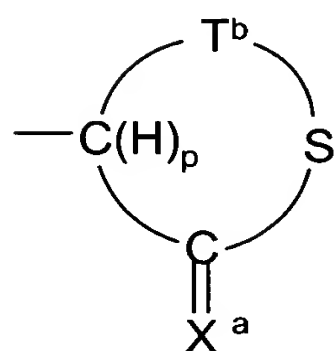
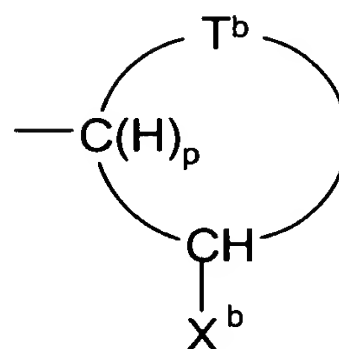
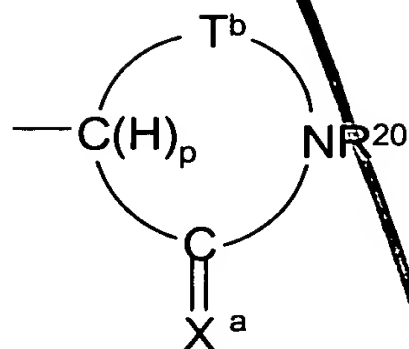
- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F21 herein; or
- R) heteroaryl as defined in F22 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

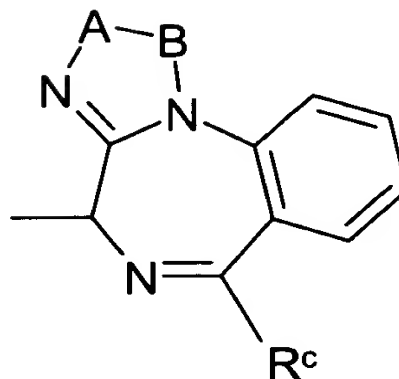
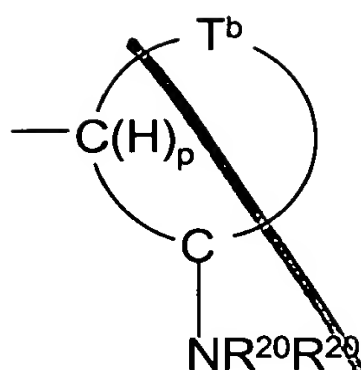
R<sup>2</sup> is selected from the group consisting of:

- DS
- S) alkyl as defined in A herein;
  - T) substituted alkyl as defined in F herein;
  - U) alkenyl as defined in B herein;
  - V) substituted alkenyl as defined in G herein;
  - W) alkynyl as defined in C herein;
  - X) substituted alkynyl as defined in H herein;
  - Y) cycloalkyl as defined in D herein;
  - Z) aryl as defined in F21 herein;
  - AA) heteroaryl as defined in F22 herein;
  - BB) heterocyclic as defined in F23 herein;
  - BB<sup>1</sup>) 2-aminopyrid-6-yl;
  - BB<sup>2</sup>) 2-methylcyclopentyl;
  - BB<sup>3</sup>) cyclohex-2-enyl; and
  - BB<sup>4</sup>)  $-(CH_2)_4NHC(O)OC(CH_3)_3$ ;

Q is selected from the group of monocyclic and fused polycyclic groups having the formulas:



05



wherein  $T^b$  is selected from the group consisting of:

- CC) alkylene where alkylene is a divalent alkyl and alkyl is defined in A herein;
- DD) substituted alkylene where substituted alkylene is a divalent substituted alkyl and substituted alkyl is defined in F herein;
- EE) alkenylene where alkenylene is a divalent alkenyl and alkenyl is defined in B herein;
- FF) substituted alkenylene where substituted alkenylene is a divalent substituted alkenyl and substituted alkenyl is defined in G herein;
- GG)  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of:
- 1)  $-O-$ ;
  - 2)  $-S-$ ; and
  - 3)  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of:
    - a) alkyl as defined in A herein;
    - b) alkenyl as defined in B herein;
    - c) alkynyl as defined in C herein;
    - d) cycloalkyl as defined D herein;
    - e) cycloalkenyl as defined in E herein;
    - f) substituted alkyl as defined in F herein;
    - g) substituted alkenyl as defined in G herein;
    - h) substituted alkynyl as defined in H herein;



- i) aryl as defined in F21 herein;
- j) heteroaryl as defined in F22 herein; and
- k) heterocyclic as defined in F23 herein;

wherein each  $R^{21}$  is independently selected from the group consisting of:

- 4) alkylene as defined in CC herein;
- 5) substituted alkylene as defined in DD herein;
- 6) alkenylene as defined in EE herein; and
- 7) substituted alkenylene as defined in FF herein;

DS with the proviso that when  $Z^a$  is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-,  $q$  is an integer of from 1 to 3;

$X^a$  is oxo or thioxo;  $X^b$  is -OH or -SH;

A-B is selected from a group of:

- HH) alkylene as defined in CC herein;
- II) alkenylene as defined in DD herein;
- JJ) substituted alkylene as defined in EE herein;
- KK) substituted alkenylene as defined in FF herein; and
- LL) -N=CH-;

$R^c$  is selected from the group consisting of:

- MM) alkyl as defined in A herein;
- NN) substituted alkyl as defined in F herein;
- OO) alkenyl as defined in B herein;
- PP) substituted alkenyl as defined in G herein;
- QQ) aryl as defined in F21 herein;
- RR) heteroaryl as defined in F22 herein;
- SS) heterocyclic as defined in F23 herein;
- TT) cycloalkyl as defined in D herein; and
- UU) substituted cycloalkyl as defined in I herein;

$p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

or pharmaceutically acceptable salts thereof;

with the following provisos:

AAA. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a 2-(S)-indanol group;

BBB. when  $R^1$  is phenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;

CCC. when  $R^1$  is cyclopropyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an N-methylcaprolactam group;

DDD. when  $R^1$  is 4-chlorobenzoyl- $\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

EEE. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

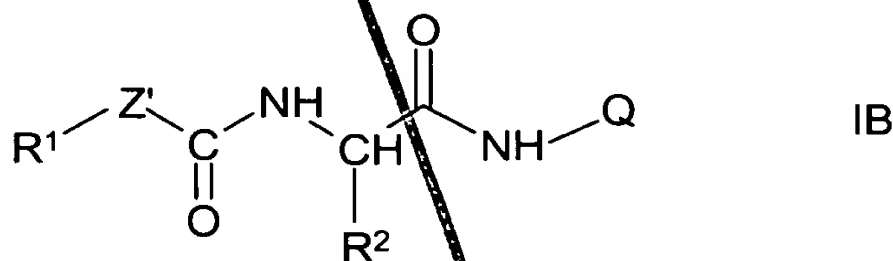
FFF. when  $R^1$  is  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(*i*-butyl $\text{C}(\text{O})\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

GGG. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ , 4- $\text{HOCH}_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $\text{CH}_3\text{S}-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

HHH. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}(\text{OH})-$ , and  $p$  is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

III. when the ring defined by Q forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

124. (New) A method for treating a human subject with AD in order to inhibit further deterioration in the condition of said human subject which method comprises administering to said human subject a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds from formula IB:



wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-,

cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 8) acylamino having the formula  $-C(O)NRR$  where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 10) amino;
- 11) aminoacyl having the formula  $-NRC(O)R$  wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- 12) aminoacyloxy having the formula  $-NRC(O)OR$  wherein each R is independently selected from the group consisting of hydrogen, alkyl,

substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is Defined in F23 herein;

- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 17) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 18) thiol;
- 19) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 20) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 21) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - l) amino;

- 11<sup>9</sup>
- m) aminoacyl as defined in F11 herein;
  - n) acylamino as defined in F8 herein;
  - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - p) aryl as defined in F21 herein;
  - q) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - r) azido;
  - s) carboxyl;
  - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - u) cyano;
  - v) halo selected from fluoro, chloro, bromo and iodo;
  - w) nitro;
  - x) heteroaryl as defined in F22 herein;
  - y) heterocyclic as defined in F23 herein;
  - z) aminoacyloxy as defined in F12 herein;
  - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
  - bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;

- 05
- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein;
  - ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F22 herein;
  - ff) -SO-alkyl wherein alkyl is defined in A herein;
  - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - hh) -SO-aryl wherein aryl is defined in F21 herein;
  - ii) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - nn) trihalomethyl wherein halo is defined in I20 herein;
  - oo) mono- and dialkylamino wherein alkyl is defined in A herein;
  - pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - qq) mono- and di-arylamino wherein aryl is defined in F21 herein;
  - rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
  - ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
  - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

- 22) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 23) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F21 herein;



- D S
- f) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F22 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F21 herein; and
  - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 24) aryloxy of the formula -O-aryl wherein aryl is defined in F21 herein;
  - 25) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 26) hydroxyamino;
  - 27) alkoxyamino wherein alkoxy is defined in F1 herein;
  - 28) nitro;
  - 29) -SO-alkyl wherein alkyl is defined in A herein;
  - 30) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 31) -SO-aryl wherein aryl is defined in F21 herein;
  - 32) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 33) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 34) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 35) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 36) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 37) mono- and dialkylamino wherein alkyl is defined in A herein;

- 0 5
- 38) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 39) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 40) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- 41) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein;
- 42) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F17 herein;
  - 14) thiol;

- 15) thioalkoxy as defined in F19 herein;
- 16) substituted thioalkoxy as defined in F20 herein;
- 17) aryl as defined in F21 herein;
- 18) heteroaryl as defined in F22 herein;
- 19) heterocyclic as defined in F23 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F21 herein;
- 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
- 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
- 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

H) substituted alkynyl of from 1 to 3 substituents selected from:

- DS
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F17 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F19 herein;
  - 16) substituted thioalkoxy as defined in F20 herein;
  - 17) aryl as defined in F21 herein;
  - 18) heteroaryl as defined in F22 herein;
  - 19) heterocyclic as defined in F23 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F21 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F21 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F22 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;

- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F21 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F22 herein;
- 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F23 herein; and
- 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F21 herein; wherein heteroaryl is defined in F22 herein; and wherein heterocyclic is defined in F23 herein;

ns

I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:

- 1) hydroxy;
- 2) acyl as defined in F7 herein;
- 3) acyloxy as defined in F9 herein;
- 4) alkyl as defined in A herein;
- 5) substituted alkyl as defined in F herein;
- 6) alkoxy as defined in F1 herein;
- 7) substituted alkoxy as defined in F2 herein;
- 8) alkenyl as defined in B herein;
- 9) substituted alkenyl as defined in G herein;
- 10) alkynyl as defined in C herein;
- 11) substituted alkynyl as defined in H herein;
- 12) amino;
- 13) aminoacyl as defined in F11 herein;

- 05
- 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
  - 15) aryl as defined in F21 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F22 herein;
  - 23) thioalkoxy as defined in F19 herein;
  - 24) substituted thioalkoxy as defined in F20 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;

- 12) amino;
- 13) aminoacyl as defined in F11 herein;
- 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F21 herein;
- 15) aryl as defined in F21 herein;
- 16) aryloxy having the formula -O-aryl wherein aryl is defined in F21 herein;
- 17) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) cyano;
- 20) halo selected from fluoro, chloro, bromo and iodo;
- 21) nitro;
- 22) heteroaryl as defined in F22 herein;
- 23) thioalkoxy as defined in F19 herein;
- 24) substituted thioalkoxy as defined in F20 herein; and
- 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F21 herein;
- L) heteroaryl as defined in F22 herein; and
- M) heterocyclic as defined in F23 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F21 herein; or
- R) heteroaryl as defined in F22 herein;

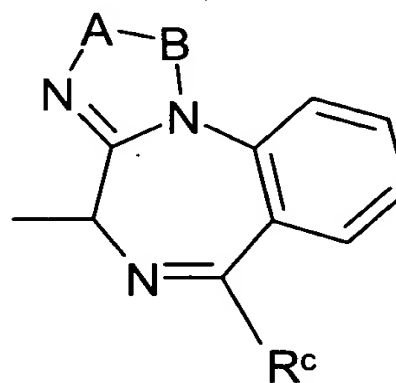
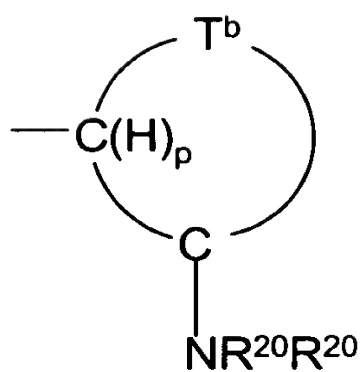
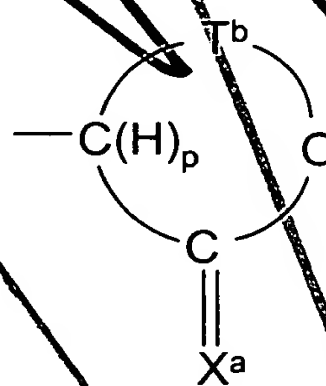
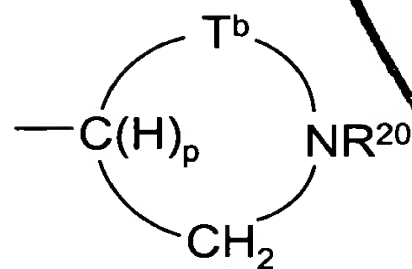
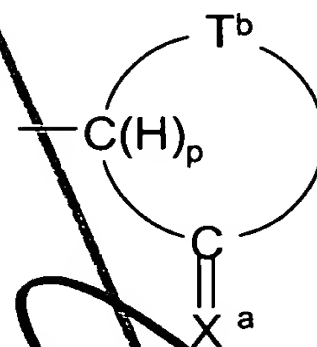
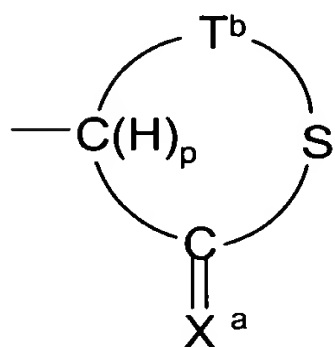
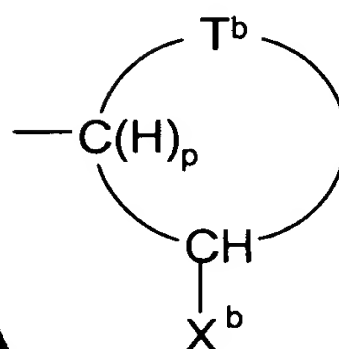
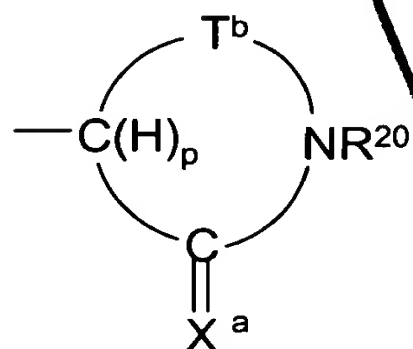
X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

R<sup>2</sup> is selected from the group consisting of:

- DS
- S) alkyl as defined in A herein;
  - T) substituted alkyl as defined in F herein;
  - U) alkenyl as defined in B herein;
  - V) substituted alkenyl as defined in G herein;
  - W) alkynyl as defined in C herein;
  - X) substituted alkynyl as defined in H herein;
  - Y) cycloalkyl as defined in D herein;
  - Z) aryl as defined in F21 herein;
  - AA) heteroaryl as defined in F22 herein;
  - BB) heterocyclic as defined in F23 herein;
  - BB<sup>1</sup>) 2-aminopyrid-6-yl;
  - BB<sup>2</sup>) 2-methylcyclopentyl;
  - BB<sup>3</sup>) cyclohex-2-enyl; and
  - BB<sup>4</sup>)  $-(CH_2)_4NHC(O)OC(CH_3)_3$ ;



Q is selected from the group of monocyclic and fused polycyclic groups having the formulas:



wherein  $T^b$  is selected from the group consisting of:

- CC) alkylene where alkylene is a divalent alkyl and alkyl is defined in A herein;
- DD) substituted alkylene where substituted alkylene is a divalent substituted alkyl and substituted alkyl is defined in F herein;
- EE) alkenylene where alkenylene is a divalent alkenyl and alkenyl is defined in B herein;
- FF) substituted alkenylene where substituted alkenylene is a divalent substituted alkenyl and substituted alkenyl is defined in G herein;
- GG)  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of:

- 1)  $-O-$ ;
- 2)  $-S-$ ; and
- 3)  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of:
  - a) alkyl as defined in A herein;
  - b) alkenyl as defined in B herein;
  - c) alkynyl as defined in C herein;
  - d) cycloalkyl as defined in D herein;
  - e) cycloalkenyl as defined in E herein;
  - f) substituted alkyl as defined in F herein;
  - g) substituted alkenyl as defined in G herein;
  - h) substituted alkynyl as defined in H herein;
  - i) aryl as defined in F21 herein;
  - j) heteroaryl as defined in F22 herein; and
  - k) heterocyclic as defined in F23 herein;

wherein each  $R^{21}$  is independently selected from the group consisting of:

- 4) alkylene as defined in CC herein;
- 5) substituted alkylene as defined in DD herein;

- 6) alkenylene as defined in EE herein; and
- 7) substituted alkenylene as defined in FF herein;

with the proviso that when  $Z^a$  is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-,  $q$  is an integer of from 1 to 3;

$X^a$  is oxo or thioxo;  $X^b$  is -OH or -SH;

A-B is selected from a group of:

- HH) alkylene as defined in CC herein;
- II) alkenylene as defined in DD herein;
- JJ) substituted alkylene as defined in EE herein;
- KK) substituted alkenylene as defined in FF herein; and
- LL) -N=CH-;

$R^c$  is selected from the group consisting of:

- MM) alkyl as defined in A herein;
- NN) substituted alkyl as defined in F herein;
- OO) alkenyl as defined in B herein;
- PP) substituted alkenyl as defined in G herein;
- QQ) aryl as defined in F21 herein;
- RR) heteroaryl as defined in F22 herein;
- SS) heterocyclic as defined in F23 herein;
- TT) cycloalkyl as defined in D herein; and
- UU) substituted cycloalkyl as defined in I herein;

$p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

or pharmaceutically acceptable salts thereof;

with the following provisos:

AAA. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a 2-(S)-indanol group;

BBB. when  $R^1$  is phenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;

CCC. when  $R^1$  is cyclopropyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an N-methylcaprolactam group;

DDD. when  $R^1$  is 4-chlorobenzoyl- $\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

EEE. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

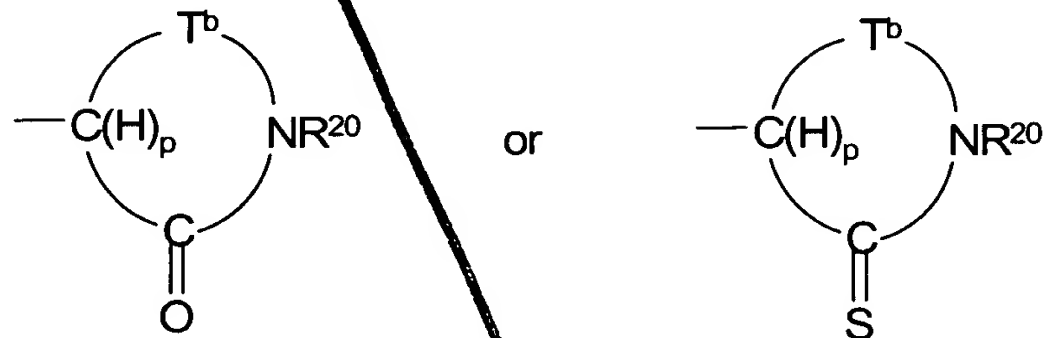
FFF. when  $R^1$  is  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(*t*-butyl $\text{C}(\text{O})\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

GGG. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ , 4- $\text{HOCH}_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $\text{CH}_3\text{S}-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

HHH. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}(\text{OH})-$ , and  $p$  is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

III. when the ring defined by Q forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

125. (New) The method according to Claim 122, 123, or 124, wherein Q is a lactam or thiolactam ring of the formula:



wherein  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

$T^b$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z^a$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

126. (New) The method according to any of Claims 118-120 or 122-124, wherein  $R^1$  is selected from the group consisting of unsubstituted aryls, and mono, di-, and tri-substituted phenyl groups.

127. (New) The method according to any of Claims 118-120 or 122-124, wherein R<sup>1</sup> is selected from the group consisting of:

phenyl, 1-naphthyl, 2-naphthyl, 2-chlorophenyl, 2-fluorophenyl, 2-bromophenyl, 2-hydroxyphenyl, 2-nitrophenyl, 2-methylphenyl, 2-methoxyphenyl, 2-phenoxyphenyl, 2-trifluoromethylphenyl, 4-fluorophenyl, 4-chlorophenyl, 4-bromophenyl, 4-nitrophenyl, 4-methylphenyl, 4-hydroxyphenyl, 4-methoxyphenyl, 4-ethoxyphenyl, 4-butoxyphenyl, 4-*iso*-propylphenyl, 4-phenoxyphenyl, 4-trifluoromethylphenyl, 4-hydroxymethylphenyl, 3-methoxyphenyl, 3-hydroxyphenyl, 3-nitrophenyl, 3-fluorophenyl, 3-chlorophenyl, 3-bromophenyl, 3-phenoxyphenyl, 3-thiomethoxyphenyl, 3-methylphenyl, 3-trifluoromethylphenyl, 2,3-dichlorophenyl, 2,3-difluorophenyl, 2,4-dichlorophenyl, 2,5-dimethoxyphenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3,4-methylenedioxyphenyl, 3,4-dimethoxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 3,5-di(trifluoromethyl)phenyl, 3,5-dimethoxyphenyl, 2,4-dichlorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, 3,4,5-trifluorophenyl, 3,4,5-trimethoxyphenyl, 3,4,5-tri-(trifluoromethyl)phenyl, 2,4,6-trifluorophenyl, 2,4,6-trimethylphenyl, 2,4,6-tri-(trifluoromethyl)phenyl, 2,3,5-trifluorophenyl, 2,4,5-trifluorophenyl, 2,5-difluorophenyl, 2-fluoro-3-trifluoromethylphenyl, 4-fluoro-2-trifluoromethylphenyl, 2-fluoro-4-trifluoromethylphenyl, 4-benzyloxyphenyl, 2-chloro-6-fluorophenyl, 2-fluoro-6-chlorophenyl, 2,3,4,5,6-pentafluorophenyl, 2,5-dimethylphenyl, 4-phenylphenyl, 2-fluoro-3-trifluoromethylphenyl, adamantyl, benzyl, 2-phenylethyl, 3-phenyl-*n*-propyl, 4-phenyl-*n*-butyl, methyl, ethyl, *n*-propyl, *iso*-propyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, *n*-pentyl, *iso*-valeryl, *n*-hexyl, cyclopropyl, cyclobutyl, cyclohexyl, cyclopentyl, cyclopent-1-enyl, cyclopent-2-enyl, cyclohex-1-enyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclobutyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-cyclopentyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclobutyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopentyl, pyrid-2-yl, pyrid-3-yl, pyrid-4-yl, fluoropyridyls, chloropyridyls, thien-2-yl, thien-3-yl, benzothiazol-4-yl, 2-phenylbenzoxazol-5-yl, furan-2-yl, benzofuran-2-yl, thionaphthen-2-yl, thionaphthen-3-yl, thionaphthen-4-yl, 2-chlorothiophen-5-yl, 3-methylisoxazol-5-yl, 2-(thiophenyl)thien-5-yl, 6-methoxythionaphthen-2-yl, 3-phenyl-1,2,4-thioxadiazol-5-yl,

05  
2-phenyloxazol-4-yl, indol-3-yl, 1-phenyl-tetraol-5-yl, allyl, 2-(cyclohexyl)ethyl,  
(CH<sub>3</sub>)<sub>2</sub>C=CCH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)-,  $\phi$ C(O)CH<sub>2</sub>-, thien-2-yl-methyl, 2-(thien-2-yl)ethyl,  
3-(thien-2-yl)-*n*-propyl, 2-(4-nitrophenyl)ethyl, 2-(4-methoxyphenyl)ethyl, norboran-2-yl,  
(4-methoxyphenyl)methyl, (2-methoxyphenyl)methyl, (3-methoxyphenyl)methyl,  
(3-hydroxyphenyl)methyl, (4-hydroxyphenyl)methyl, (4-methoxyphenyl)methyl,  
(4-methylphenyl)methyl, (4-fluorophenyl)methyl, (4-fluorophenoxy)methyl,  
(2,4-dichlorophenoxy)ethyl, (4-chlorophenyl)methyl, (2-chlorophenyl)methyl,  
(1-phenyl)ethyl, (1-(*p*-chlorophenyl)ethyl, (1-trifluoromethyl)ethyl,  
(4-methoxyphenyl)ethyl, CH<sub>3</sub>OC(O)CH<sub>2</sub>-, benzylthiomethyl, 5-(methoxycarbonyl)-*n*-pentyl,  
3-(methoxycarbonyl)-*n*-propyl, indan-2-yl, (2-methylbenzofuran-3-yl), methoxymethyl,  
CH<sub>3</sub>CH=CH-, CH<sub>3</sub>CH<sub>2</sub>CH=CH-, (4-chlorophenyl)C(O)CH<sub>2</sub>-, (4-fluorophenyl)C(O)CH<sub>2</sub>-,  
(4-methoxyphenyl)C(O)CH<sub>2</sub>-, 4-(fluorophenyl)-NHC(O)CH<sub>2</sub>-, 1-phenyl-*n*-butyl,  
( $\phi$ )<sub>2</sub>CHNHC(O)CH<sub>2</sub>CH<sub>2</sub>-, (CH<sub>3</sub>)<sub>2</sub>NC(O)CH<sub>2</sub>-, ( $\phi$ )<sub>2</sub>CHNHC(O)CH<sub>2</sub>CH<sub>2</sub>-,  
methylcarbonylmethyl, (2,4-dimethylphenyl)C(O)CH<sub>2</sub>-, 4-methoxyphenyl-C(O)CH<sub>2</sub>-,  
phenyl-C(O)CH<sub>2</sub>-, CH<sub>3</sub>C(O)N( $\phi$ )-, ethenyl, methylthiomethyl, (CH<sub>3</sub>)<sub>3</sub>CNHC(O)CH<sub>2</sub>-,  
4-fluorophenyl-C(O)CH<sub>2</sub>-, diphenylmethyl, phenoxymethyl,  
3,4-methylenedioxyphenyl-CH<sub>2</sub>-, benzo[b]thiophen-3-yl, (CH<sub>3</sub>)<sub>3</sub>COC(O)NHCH<sub>2</sub>-,  
*trans*-styryl, H<sub>2</sub>NC(O)CH<sub>2</sub>CH<sub>2</sub>-, 2-trifluoromethylphenyl-C(O)CH<sub>2</sub>-,  $\phi$ C(O)NHCH( $\phi$ )CH<sub>2</sub>-,  
mesityl, CH<sub>3</sub>C(=NOH)CH<sub>2</sub>-, 4-CH<sub>3</sub>- $\phi$ -NHC(O)CH<sub>2</sub>CH<sub>2</sub>-,  $\phi$ C(O)CH( $\phi$ )CH<sub>2</sub>-,  
(CH<sub>3</sub>)<sub>2</sub>CHC(O)NHCH( $\phi$ )-, CH<sub>3</sub>CH<sub>2</sub>OCH<sub>2</sub>-, CH<sub>3</sub>OC(O)CH(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>3</sub>-,  
2,2,2-trifluoroethyl, 1-(trifluoromethyl)ethyl, 2-CH<sub>3</sub>-benzofuran-3-yl,  
2-(2,4-dichlorophenoxy)ethyl,  $\phi$ SO<sub>2</sub>CH<sub>2</sub>-, 3-cyclohexyl-*n*-propyl, CF<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>- and  
N-pyrrolidinyl.

128. (New) The method according to any of Claims 118-120 or 122-124, wherein  
R<sup>2</sup> is selected from the group consisting of alkyl, substituted alkyl, alkenyl, cycloalkyl,  
optionally substituted aryl, optionally substituted heteroaryl, and optionally substituted  
heterocycle.

129. (New) The method according to any of Claims 118-120 or 122-124, wherein  $R^2$  is selected from the group consisting of:

05 methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, 2-methyl-*n*-butyl, 6-fluoro-*n*-hexyl, phenyl, benzyl, cyclohexyl, cyclopentyl, cycloheptyl, allyl, *iso*-but-2-enyl, 3-methylpentyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-indol-3-yl, *p*-(phenyl)phenyl, *o*-fluorophenyl, *m*-fluorophenyl, *p*-fluorophenyl, *m*-methoxyphenyl, *p*-methoxyphenyl, phenethyl, benzyl, *m*-hydroxybenzyl, *p*-hydroxybenzyl, *p*-nitrobenzyl, *m*-trifluoromethylphenyl, *p*-(CH<sub>3</sub>)<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O-benzyl, *p*-(CH<sub>3</sub>)<sub>3</sub>COC(O)CH<sub>2</sub>O-benzyl, *p*-(HOOCCH<sub>2</sub>O)-benzyl, 2-aminopyrid-6-yl, *p*-(N-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, -CH<sub>2</sub>CH<sub>2</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>-imidazol-4-yl, -CH<sub>2</sub>-(3-tetrahydrofuranyl), -CH<sub>2</sub>-thiophen-2-yl, -CH<sub>2</sub>-(1-methyl)cyclopropyl, -CH<sub>2</sub>-thiophen-3-yl, thiophen-3-yl, thiophen-2-yl, -CH<sub>2</sub>-C(O)O-*t*-butyl, -CH<sub>2</sub>-C(CH<sub>3</sub>)<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, 2-methylcyclopentyl, cyclohex-2-enyl, -CH[CH(CH<sub>3</sub>)<sub>2</sub>]COOCH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>C(CH<sub>3</sub>)=CH<sub>2</sub>, -CH<sub>2</sub>CH=CHCH<sub>3</sub> (cis and trans), -CH<sub>2</sub>OH, -CH(OH)CH<sub>3</sub>, -CH(O-*t*-butyl)CH<sub>3</sub>, -CH<sub>2</sub>OCH<sub>3</sub>, -(CH<sub>2</sub>)<sub>4</sub>NH-Boc, -(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>, -CH<sub>2</sub>-pyridyl, pyridyl, -CH<sub>2</sub>-naphthyl, -CH<sub>2</sub>-(N-morpholino), *p*-(N-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, benzo[b]thiophen-2-yl, 5-chlorobenzo[b]thiophen-2-yl, 4,5,6,7-tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, 5-chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl, -CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, thien-2-yl, and thien-3-yl.

130. (New) The method according to any of Claims 118-120 or 122-124, wherein  $Z'$  is -CH<sub>2</sub>-.